

=> d his nofile

(FILE 'HOME' ENTERED AT 12:17:20 ON 24 OCT 2006)

FILE 'REGISTRY' ENTERED AT 12:17:33 ON 24 OCT 2006

L1 STRUCTURE UPLOADED
L2 0 SEA SSS SAM L1
D QUE L1

FILE 'STNGUIDE' ENTERED AT 12:17:56 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 12:18:42 ON 24 OCT 2006

L3 STRUCTURE UPLOADED
L4 3 SEA SSS SAM L3
L5 STRUCTURE UPLOADED
D QUE L5
L6 0 SEA SSS SAM L5

FILE 'STNGUIDE' ENTERED AT 12:21:53 ON 24 OCT 2006

FILE 'CAPLUS' ENTERED AT 12:22:51 ON 24 OCT 2006

E US2006-569812/APPS
L7 1 SEA ABB=ON PLU=ON US2006-569812/AP
D SCAN
SEL RN L7

FILE 'REGISTRY' ENTERED AT 12:23:15 ON 24 OCT 2006

L8 45 SEA ABB=ON PLU=ON (107-82-4/BI OR 126747-14-6/BI OR 127152-98
-1/BI OR 14199-15-6/BI OR 156-38-7/BI OR 1647-26-3/BI OR
18162-48-6/BI OR 1878-68-8/BI OR 27727-37-3/BI OR 33155-58-7/BI
OR 335200-36-7/BI OR 5292-43-3/BI OR 5437-45-6/BI OR 55784-09-
3/BI OR 845785-97-9/BI OR 845785-98-0/BI OR 845785-99-1/BI OR
845786-00-7/BI OR 845786-01-8/BI OR 845786-02-9/BI OR 845786-03
-0/BI OR 845786-04-1/BI OR 845786-06-3/BI OR 845786-07-4/BI OR
845786-08-5/BI OR 845786-09-6/BI OR 845786-10-9/BI OR 845786-11
-0/BI OR 845786-12-1/BI OR 845786-13-2/BI OR 845786-14-3/BI OR
845786-15-4/BI OR 845786-16-5/BI OR 845786-17-6/BI OR 845786-18
-7/BI OR 845786-19-8/BI OR 845786-20-1/BI OR 845786-21-2/BI OR
845786-22-3/BI OR 845786-23-4/BI OR 845786-24-5/BI OR 845786-25
-6/BI OR 845786-26-7/BI OR 845786-27-8/BI OR 98946-18-0/BI)
D SCAN

FILE 'REGISTRY' ENTERED AT 12:42:18 ON 24 OCT 2006

L*** DEL6429975 S NR=3
L*** DEL 0 S L*** AND O3/ELS AND N1/ELS
L*** DEL 0 S L*** AND O3/ELS
L*** DEL 0 S L*** AND 30/ELS
D HIE

FILE 'STNGUIDE' ENTERED AT 12:45:24 ON 24 OCT 2006

L9 0 SEA ABB=ON PLU=ON L*** AND NH2/ELS
L10 0 SEA ABB=ON PLU=ON L*** AND 2HN/ELS
L11 0 SEA ABB=ON PLU=ON L*** AND NH2
L12 0 SEA ABB=ON PLU=ON L*** AND NH2/ESS

FILE 'STNGUIDE' ENTERED AT 12:46:27 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 12:47:42 ON 24 OCT 2006

L13 STRUCTURE UPLOADED

L14 50 SEA SUB=L*** SSS SAM L13

FILE 'STNGUIDE' ENTERED AT 12:48:21 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 12:49:45 ON 24 OCT 2006

L15 STRUCTURE UPLOADED

L16 0 SEA SUB=L*** SSS SAM L15

D QUE L15

L17 STRUCTURE UPLOADED

L18 4 SEA SUB=L*** SSS SAM L17

D QUE L17

L19 4 SEA SSS SAM L17

D SCAN

D QUE L17

FILE 'STNGUIDE' ENTERED AT 12:53:54 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:00:08 ON 24 OCT 2006

L20 STRUCTURE UPLOADED

L21 29 SEA SSS SAM L20

FILE 'STNGUIDE' ENTERED AT 13:01:54 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:03:31 ON 24 OCT 2006

L22 STRUCTURE UPLOADED

L23 9 SEA SSS SAM L22

FILE 'STNGUIDE' ENTERED AT 13:03:53 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:05:19 ON 24 OCT 2006

L24 STRUCTURE UPLOADED

L25 1 SEA SSS SAM L24

D SCAN

FILE 'STNGUIDE' ENTERED AT 13:05:42 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:06:20 ON 24 OCT 2006

L26 STRUCTURE UPLOADED

L27 5 SEA SSS SAM L26

FILE 'STNGUIDE' ENTERED AT 13:06:48 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:08:33 ON 24 OCT 2006

L28 STRUCTURE UPLOADED

L29 50 SEA SSS SAM L28

D QUE L28

L30 STRUCTURE UPLOADED

L31 6 SEA SSS SAM L30

FILE 'STNGUIDE' ENTERED AT 13:10:10 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:11:44 ON 24 OCT 2006

L32 STRUCTURE UPLOADED

L33 6 SEA SSS SAM L32

FILE 'STNGUIDE' ENTERED AT 13:12:02 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:15:51 ON 24 OCT 2006

L34 STRUCTURE UPLOADED

LAO 10/569812

L35 6 SEA SSS SAM L34

FILE 'STNGUIDE' ENTERED AT 13:16:09 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:18:15 ON 24 OCT 2006

FILE 'STNGUIDE' ENTERED AT 13:19:56 ON 24 OCT 2006
D QUE L34

L36 FILE 'REGISTRY' ENTERED AT 14:30:29 ON 24 OCT 2006
6 SEA SSS SAM L34
D SCAN

FILE 'STNGUIDE' ENTERED AT 14:31:05 ON 24 OCT 2006
D SCAN L8

FILE 'REGISTRY' ENTERED AT 14:31:37 ON 24 OCT 2006
D SCAN L8
L37 3 SEA ABB=ON PLU=ON L8 AND C17H14N2O3/MF
D SCAN
D L37 IDE

L*** DEL 118 S RID

FILE 'STNGUIDE' ENTERED AT 14:41:14 ON 24 OCT 2006

L38 FILE 'REGISTRY' ENTERED AT 14:43:31 ON 24 OCT 2006
STRUCTURE UPLOADED
L39 2 SEA SSS SAM L38

FILE 'STNGUIDE' ENTERED AT 14:43:56 ON 24 OCT 2006

L40 FILE 'REGISTRY' ENTERED AT 14:55:37 ON 24 OCT 2006
STRUCTURE UPLOADED
L41 0 SEA SSS SAM L40

FILE 'STNGUIDE' ENTERED AT 14:55:54 ON 24 OCT 2006

L42 FILE 'REGISTRY' ENTERED AT 14:57:05 ON 24 OCT 2006
STRUCTURE UPLOADED

L43 9 SEA SSS SAM L42
D QUE L42

L44 1518 SEA SSS FUL L42
SAVE L44 LAO812/A TEMP

L45 9 SEA ABB=ON PLU=ON L44 AND L8

L46 36 SEA ABB=ON PLU=ON L8 NOT L45
D SCAN
D SCAN L43

FILE 'STNGUIDE' ENTERED AT 15:03:47 ON 24 OCT 2006

L47 FILE 'REGISTRY' ENTERED AT 15:04:55 ON 24 OCT 2006
STRUCTURE UPLOADED

L48 0 SEA SUB=L44 SSS SAM L47

L49 9 SEA SUB=L44 SSS FUL L47

FILE 'HCAPLUS' ENTERED AT 15:05:26 ON 24 OCT 2006
L50 5 SEA ABB=ON PLU=ON L49

FILE 'REGISTRY' ENTERED AT 15:05:44 ON 24 OCT 2006

D SCAN L49

FILE 'BEILSTEIN' ENTERED AT 15:07:31 ON 24 OCT 2006
L51 STRUCTURE UPLOADED
L52 1 SEA SSS FUL L51
L53 1 SEA ABB=ON PLU=ON L52 NOT L49

FILE 'MARPAT' ENTERED AT 15:08:31 ON 24 OCT 2006
L54 18 SEA SSS SAM L47
L55 348 SEA SSS FUL L47
L56 345 SEA ABB=ON PLU=ON L55/COM
L57 15 SEA SUB=L55 SSS SAM L51
L58 293 SEA SUB=L55 SSS FUL L51

FILE 'STNGUIDE' ENTERED AT 15:09:32 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:10:15 ON 24 OCT 2006
L59 STRUCTURE UPLOADED
L60 11 SEA SUB=L55 SSS SAM L59
L61 174 SEA SUB=L55 SSS FUL L59

FILE 'STNGUIDE' ENTERED AT 15:10:55 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:11:53 ON 24 OCT 2006
L62 STRUCTURE UPLOADED
L63 9 SEA SUB=L55 SSS SAM L62

FILE 'STNGUIDE' ENTERED AT 15:12:18 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:13:16 ON 24 OCT 2006
L64 STRUCTURE UPLOADED
L65 9 SEA SUB=L55 SSS SAM L64

FILE 'STNGUIDE' ENTERED AT 15:13:43 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:14:19 ON 24 OCT 2006
L66 STRUCTURE UPLOADED
L67 9 SEA SUB=L55 SSS SAM L66

FILE 'STNGUIDE' ENTERED AT 15:14:42 ON 24 OCT 2006

FILE 'MARPAT' ENTERED AT 15:15:55 ON 24 OCT 2006
L68 STRUCTURE UPLOADED
L69 7 SEA SUB=L55 SSS SAM L68
L70 103 SEA SUB=L55 SSS FUL L68
L71 101 SEA ABB=ON PLU=ON L70/COM

FILE 'REGISTRY' ENTERED AT 15:16:49 ON 24 OCT 2006

FILE 'HCAPLUS' ENTERED AT 15:17:01 ON 24 OCT 2006
L72 420 SEA ABB=ON PLU=ON L44
L73 113 SEA ABB=ON PLU=ON L44 (L) (THU OR PAC OR BAC OR PKT OR
DMA)/RL
L74 86 SEA ABB=ON PLU=ON L73 AND (PY<2003 OR AY<2003 OR PRY<2003)
E INFLAMMATORY DISEASE/CT
E E3+ALL
E E2+ALL
L75 196219 SEA ABB=ON PLU=ON INFLAMMATION+OLD, PFT, RT, NT/CT
E AUTOIMMUNE /CT

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E E8+ALL
L76      43307 SEA ABB=ON  PLU=ON  "AUTOIMMUNE DISEASE"+OLD,PFT,RT,NT/CT
L77      295902 SEA ABB=ON  PLU=ON  (INFLAMM? OR AUTOIMMUN? OR AUTO(1A)IMMUN?)/
OBI,BI
L78      18 SEA ABB=ON  PLU=ON  L74 AND (L75 OR L76)
L79      20 SEA ABB=ON  PLU=ON  L74 AND L77
L80      22 SEA ABB=ON  PLU=ON  (L78 OR L79)
L81      23 SEA ABB=ON  PLU=ON  (L7 OR L80)
L82      420 SEA ABB=ON  PLU=ON  (L7 OR L72)
L83      113 SEA ABB=ON  PLU=ON  (L7 OR L73)
L84      87 SEA ABB=ON  PLU=ON  (L7 OR L74)
D KWIC L80
D KWIC L80 2
L85      31 SEA ABB=ON  PLU=ON  L73 AND (L75 OR L76 OR L77)
L86      31 SEA ABB=ON  PLU=ON  (L85 OR L80)

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FILE 'STNGUIDE' ENTERED AT 15:21:22 ON 24 OCT 2006

FILE 'HCAPLUS' ENTERED AT 15:22:04 ON 24 OCT 2006

```

E HOLMES/CT
E HOLMES/AU
E HOLMES I/AU
L87      103 SEA ABB=ON  PLU=ON  ("HOLMES I"/AU OR "HOLMES I B"/AU OR
"HOLMES I F"/AU OR "HOLMES I H"/AU OR "HOLMES I P"/AU OR
"HOLMES IAN"/AU OR "HOLMES IAN B"/AU OR "HOLMES IAN D"/AU OR
"HOLMES IAN F"/AU OR "HOLMES IAN H"/AU OR "HOLMES IAN HAMILTON"
/AU OR "HOLMES IAN P"/AU OR "HOLMES IAN PETER"/AU)
E WATSON S/AU
L88      94 SEA ABB=ON  PLU=ON  ("WATSON S"/AU OR "WATSON S P"/AU)
E WATSON S/AU
L89      8 SEA ABB=ON  PLU=ON  ("WATSON STEFAN"/AU OR "WATSON STEPHEN"/AU)
E WATSON STE/AU
L90      224 SEA ABB=ON  PLU=ON  ("WATSON STEPHEN P"/AU OR "WATSON STEPHEN
PAUL"/AU OR "WATSON STEVE P"/AU)
E WATSON STE/AU
L91      3 SEA ABB=ON  PLU=ON  "WATSON STEVEN P"/AU
L92      4 SEA ABB=ON  PLU=ON  L87 AND (L88 OR L89 OR L90 OR L91)
L93      6 SEA ABB=ON  PLU=ON  (L87 OR L88 OR L89 OR L90 OR L91 OR L92)
AND (L75 OR L76 OR L77)
L94      6 SEA ABB=ON  PLU=ON  (L92 OR L93)
D QUE L49
L95      3 SEA ABB=ON  PLU=ON  L49 AND (PY<2003 OR AY<2003 OR PRY<2003)
D BIB
L96      30 SEA ABB=ON  PLU=ON  L86 NOT L94
L97      4 SEA ABB=ON  PLU=ON  L49 NOT L94
L98      6 SEA ABB=ON  PLU=ON  (L94 OR L7)

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=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 15:26:19 ON 24 OCT 2006

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FILE COVERS 1907 - 24 Oct 2006 VOL 145 ISS 18
FILE LAST UPDATED: 23 Oct 2006 (20061023/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 194

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L75      196219 SEA FILE=HCAPLUS ABB=ON  PLU=ON  INFLAMMATION+OLD,PFT,RT,NT/CT

L76      43307 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "AUTOIMMUNE DISEASE"+OLD,PFT,R
          T,NT/CT
L77      295902 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (INFLAMM? OR AUTOIMMUN? OR
          AUTO(1A) IMMUN?)/OBI,BI
L87      103 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("HOLMES I"/AU OR "HOLMES I
          B"/AU OR "HOLMES I F"/AU OR "HOLMES I H"/AU OR "HOLMES I P"/AU
          OR "HOLMES IAN"/AU OR "HOLMES IAN B"/AU OR "HOLMES IAN D"/AU
          OR "HOLMES IAN F"/AU OR "HOLMES IAN H"/AU OR "HOLMES IAN
          HAMILTON"/AU OR "HOLMES IAN P"/AU OR "HOLMES IAN PETER"/AU)
L88      94 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("WATSON S"/AU OR "WATSON S
          P"/AU)
L89      8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("WATSON STEFAN"/AU OR
          "WATSON STEPHEN"/AU)
L90      224 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("WATSON STEPHEN P"/AU OR
          "WATSON STEPHEN PAUL"/AU OR "WATSON STEVE P"/AU)
L91      3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "WATSON STEVEN P"/AU
L92      4 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L87 AND (L88 OR L89 OR L90 OR
          L91)
L93      6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L87 OR L88 OR L89 OR L90 OR
          L91 OR L92) AND (L75 OR L76 OR L77)
L94      6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L92 OR L93)
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=> d ibib abs 194 tot

L94 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:395279 HCAPLUS <<LOGINID::20061024>>

DOCUMENT NUMBER: 142:447210

TITLE: Preparation of heterocyclic compounds for treating conditions mediated by EP1 receptor and TxA2 receptor
INVENTOR(S): Giblin, Gerard Martin Paul; Hall, Adrian; Hurst, David Nigel; Lewell, Xiao Qing; Lorthioir, Olivier Eric; McKeown, Stephen Carl; Scoccitti, Tiziana;

Watson, Stephen Paul

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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|------------------------|----|----------|------------------|-----------------|
| BR 9609782 | A | 19990309 | BR 1996-9782 | 19960711 <-- |
| JP 11511124 | T2 | 19990928 | JP 1996-505989 | 19960711 <-- |
| NZ 312950 | A | 20000128 | NZ 1996-312950 | 19960711 <-- |
| EE 3694 | B1 | 20020415 | EE 1997-362 | 19960711 <-- |
| EE 200200384 | A | 20021015 | EE 2002-384 | 19960711 <-- |
| PL 188446 | B1 | 20050228 | PL 1996-324491 | 19960711 <-- |
| IL 122783 | A1 | 20050831 | IL 1996-122783 | 19960711 <-- |
| TW 570927 | B | 20040111 | TW 1996-85108493 | 19960712 <-- |
| FI 9800033 | A | 19980305 | FI 1998-33 | 19980109 <-- |
| NO 9800097 | A | 19980311 | NO 1998-97 | 19980109 <-- |
| BG 63876 | B1 | 20030430 | BG 1998-102241 | 19980210 <-- |
| US 6239108 | B1 | 20010529 | US 1998-983391 | 19980810 <-- |
| US 6596687 | B1 | 20030722 | US 2000-482296 | 20000113 <-- |
| AU 758886 | B2 | 20030403 | AU 2000-36445 | 20000525 <-- |
| US 6875743 | B1 | 20050405 | US 2000-724139 | 20001128 <-- |
| PRIORITY APPLN. INFO.: | | | US 1995-498237 | A 19950711 <-- |
| | | | AU 1996-64894 | A3 19960711 <-- |
| | | | WO 1996-US11570 | W 19960711 <-- |
| | | | US 1998-983391 | A1 19980810 <-- |

OTHER SOURCE(S): MARPAT 126:199840

AB The present invention relates to novel peptide derivs. that are useful for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. This invention also relates to pharmaceutical formulations comprising these compds. and methods of using them for inhibition and prevention of cell adhesion and cell adhesion-mediated pathologies. The compds. and pharmaceutical composition of this invention can be used as therapeutic or prophylactic agents. They are particularly well-suited for treatment of many **inflammatory** and **autoimmune** diseases. Thus, coupling of 4-(2-MeC6H4NHCONH)C6H4CH2CO2H (preparation given) with protected peptide H-Leu-Asp(OCH2Ph)-Val-OCH2Ph (preparation given), followed by catalytic hydrogenolysis, gave cell adhesion inhibitor peptide 4-(2-MeC6H4NHCONH)C6H4CH2CO-Leu-Asp-Val-OH (I). All 408 prepared peptide derivs., including I, inhibited VLA4-dependent adhesion to a bovine serum albumin conjugate with H-Cys-Tyr-Asp-Glu-Leu-Pro-Gln-Leu-Val-Thr-Leu-Pro-His-Pro-Asn-Leu-His-Gly-Pro-Glu-Ile-Leu-Asp-Val-Pro-Ser-Thr-OH, with IC50 values of <1 mM.

IC ICM C07K014-78

ICS C07K005-02; C07K005-06; C07K005-08; C07K005-10; A61K038-04; A61K038-39

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

ST peptide prepn cell adhesion inhibitor; antiinflammatory drug peptide deriv prepn; **autoimmune** disease treatment peptide deriv prepnIT **Anti-inflammatory agents****Autoimmune disease**

(preparation of peptide derivs. as cell adhesion inhibitors)

| | | | | |
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| 187736-29-4P | 187736-30-7P | 187736-31-8P | 187736-32-9P | 187736-33-0P |
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| 187737-48-0P | 187737-49-1P | 187737-50-4P | 187737-51-5P | 187737-52-6P |
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| 187737-74-2P | 187737-75-3P | 187737-76-4P | 187737-77-5P | 187737-78-6P |
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| 187738-04-1P | 187738-05-2P | 187738-06-3P | 187738-07-4P | 187738-08-5P |

RL: **BAC** (*Biological activity or effector, except adverse*); BSU
(Biological study, unclassified); SPN (Synthetic preparation); **THU**
(*Therapeutic use*); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of peptide derivs. as cell adhesion inhibitors)

IT 187737-21-9P 187737-23-1P

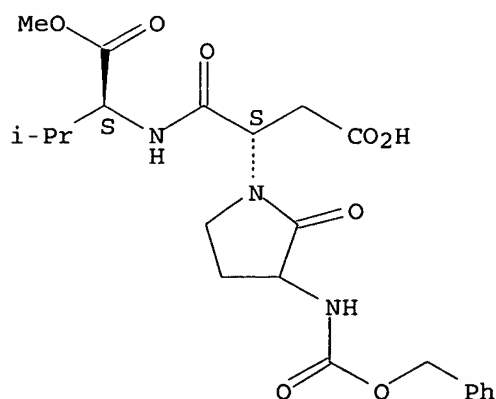
RL: **BAC** (*Biological activity or effector, except adverse*); BSU
(Biological study, unclassified); SPN (Synthetic preparation); **THU**
(*Therapeutic use*); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of peptide derivs. as cell adhesion inhibitors)

RN 187737-21-9 HCAPLUS

CN 1-Pyrrolidinepropanoic acid, β -[[[1-(methoxycarbonyl)-2-methylpropyl]amino]carbonyl]-2-oxo-3-[[[(phenylmethoxy)carbonyl]amino]-, [1[S(S)]]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

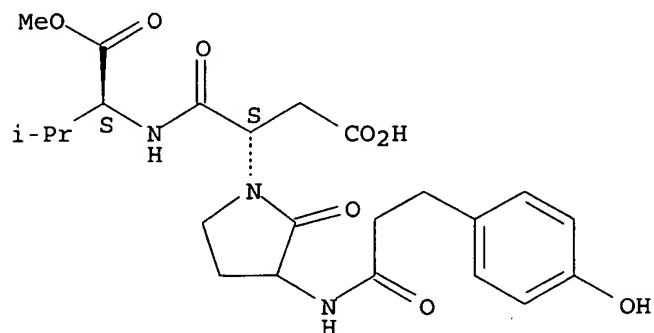


RN 187737-23-1 HCAPLUS

LAO 10/569812

CN 1-Pyrrolidinepropanoic acid, 3-[[3-(4-hydroxyphenyl)-1-oxopropyl]amino]-
β-[[[1-(methoxycarbonyl)-2-methylpropyl]amino]carbonyl]-2-oxo-,
[1[S(S)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L96 ANSWER 30 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:214381 HCAPLUS <<LOGINID::20061024>>

DOCUMENT NUMBER: 106:214381

TITLE: [(Hydroxycarbamoyl)alkanoyl]amino acid derivatives as collagenase inhibitors

INVENTOR(S): Dickens, Jonathan Philip; Donald, David Keith; Kneen, Geoffrey; McKay, William Roger

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: Eur. Pat. Appl., 70 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

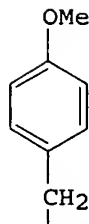
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------------|
| EP 214639 | A2 | 19870318 | EP 1986-112386 | 19860908 <-- |
| EP 214639 | A3 | 19880217 | | |
| EP 214639 | B1 | 19900613 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE | | | | |
| US 4599361 | A | 19860708 | US 1985-774491 | 19850910 <-- |
| US 4743587 | A | 19880510 | US 1986-880130 | 19860707 <-- |
| AT 53573 | E | 19900615 | AT 1986-112386 | 19860908 <-- |
| PRIORITY APPLN. INFO.: | | | US 1985-774491 | A 19850910 <-- |
| | | | US 1986-880130 | A 19860707 <-- |
| | | | EP 1986-112386 | A 19860908 <-- |

GI



HOHNCOXCONHCHR²CONHR¹ I R⁵COCH₂CH(CH₂CHMe₂)CONHCHCONMe II

AB The title compds. [I; R¹ = alkyl; R² = alkyl, (substituted) PhCH₂; X = CHR³CHR⁴, R³C:CR⁴; R³ = H, alkyl, Ph, phenylalkyl; R⁴ = H, alkyl, phenylalkyl, cycloalkyl, cycloalkylalkyl] were prepared as collagenase inhibitors. Me₂CHCH₂COCO₂H was coupled with O-methyl-L-tyrosine methylamide using (COCl)₂ and DMF in CH₂Cl₂. The product ketone was olefinated with PhCH₂O₂CCH₂P(O)(OMe)₂ followed by hydrogenation to give a mixture of 2 acyltyrosine derivs. II (R⁵ = HO). These were converted to II (R⁵ = HONH) (III) by successive treatment with EtO₂CCl and H₂NOH.HCl. One isomer of III inhibited human rheumatoid synovial collagenase with an IC₅₀ of 0.02 μM.

IC ICM C07C103-50

ICS C07C103-58; A61K037-64

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

IT **Inflammation** inhibitors

(antiarthritics, hydroxamic acid derivs.)

| | | | | | |
|----|--------------|--------------|--------------|---------------------|--------------|
| IT | 104408-38-0P | 104408-39-1P | 104408-52-8P | 104408-53-9P | |
| | 104408-54-0P | 104408-55-1P | 104408-59-5P | 104408-60-8P | 104408-61-9P |
| | 104485-71-4P | 104485-72-5P | 104485-73-6P | 108383-51-3P | 108383-52-4P |
| | 108383-53-5P | 108383-54-6P | 108383-55-7P | 108383-56-8P | 108383-57-9P |
| | 108383-58-0P | 108383-59-1P | 108383-60-4P | 108383-61-5P | 108383-62-6P |
| | 108383-63-7P | 108383-64-8P | 108383-65-9P | 108383-66-0P | 108383-67-1P |
| | 108383-68-2P | 108383-69-3P | 108383-70-6P | 108383-71-7P | 108383-72-8P |
| | 108383-73-9P | 108383-78-4P | | | |

RL: **BAC (Biological activity or effector, except adverse)**; BSU

(Biological study, unclassified); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)

(preparation of, as collagenase inhibitor)

IT **104408-53-9P**

RL: **BAC (Biological activity or effector, except adverse)**; BSU

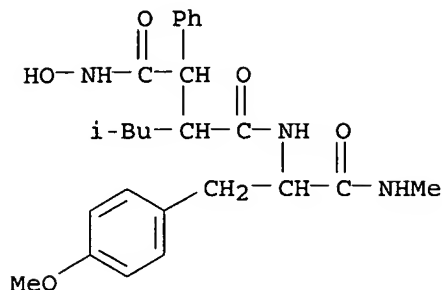
(Biological study, unclassified); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)

(preparation of, as collagenase inhibitor)

RN 104408-53-9 HCAPLUS

CN Butanediamide, N1-hydroxy-N4-[1-[(4-methoxyphenyl)methyl]-2-(methyamino)-2-oxoethyl]-3-(2-methylpropyl)-2-phenyl- (9CI) (CA INDEX NAME)



=> file beils

FILE 'BEILSTEIN' ENTERED AT 15:27:22 ON 24 OCT 2006

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FILE LAST UPDATED ON JUNE 16, 2006

FILE COVERS 1771 TO 2006.

*** FILE CONTAINS 9,606,495 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *

NEW

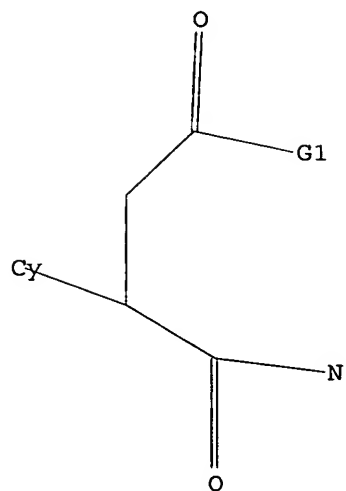
* **PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
SEARCHED, SELECTED AND TRANSFERRED.**
* **NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
COMPOUND AT A GLANCE.**

=> d que 153

L42 STR

Beilstein

N¹

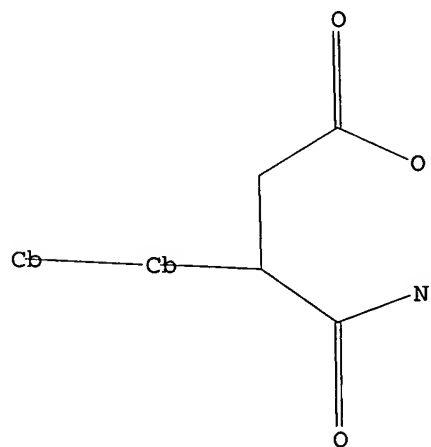


G1 O, [01]

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L44 1518 SEA FILE=REGISTRY SSS FUL L42

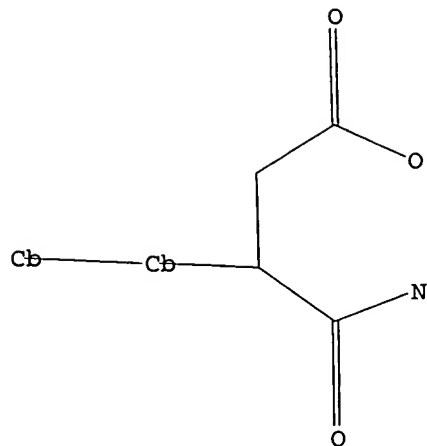
L47 STR



Structure attributes must be viewed using STN Express query preparation.

L49 9 SEA FILE=REGISTRY SUB=L44 SSS FUL L47

L51 STR



Structure attributes must be viewed using STN Express query preparation.

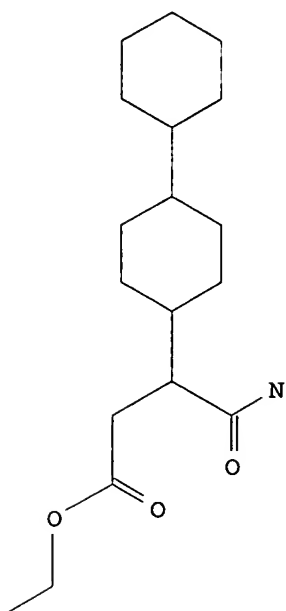
L52 1 SEA FILE=BEILSTEIN SSS FUL L51

L53 1 SEA FILE=BEILSTEIN ABB=ON PLU=ON L52 NOT L49

=> d ide allref l53 tot

L53 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

| | |
|---------------------------|---|
| Beilstein Records (BRN): | 3390730 |
| Chemical Name (CN): | 3-bicyclohexyl-4-yl-succinamic acid ethyl ester |
| Autonom Name (AUN): | 3-bicyclohexyl-4-yl-succinamic acid ethyl ester |
| Molec. Formula (MF): | C18 H31 N O3 |
| Molecular Weight (MW): | 309.45 |
| Lawson Number (LN): | 11110, 298 |
| Compound Type (CTYPE): | isocyclic |
| Constitution ID (CONSID): | 3040392 |
| Tautomer ID (TAUTID): | 3247640 |
| Beilstein Citation (BSO): | 3-09-00-04036 |
| Entry Date (DED): | 1990/02/15 |
| Update Date (DUPD): | 1992/06/02 |



Field Availability:

| Code | Name | Occurrence |
|--------|--------------------|------------|
| BRN | Beilstein Records | 1 |
| CN | Chemical Name | 1 |
| AUN | Autonomname | 1 |
| MF | Molecular Formula | 1 |
| FW | Formular Weight | 1 |
| LN | Lawson Number | 2 |
| CTYPE | Compound Type | 1 |
| CONSID | Constitution ID | 1 |
| TAUTID | Tautomer ID | 1 |
| BSO | Beilstein Citation | 1 |
| DED | Entry Date | 1 |
| DUPD | Update Date | 1 |
| MP | Melting Point | 1 |

This substance also occurs in Reaction Documents:

| Code | Name | Occurrence |
|-------|-------------------------------|------------|
| RX | Reaction Documents | 1 |
| RXPRO | Substance is Reaction Product | 1 |

All References:

ALLREF

1. Fieser et al., J.Amer.Chem.Soc., CODEN: JACSAT, 70, <1948>, 3177

=> file marpat

FILE 'MARPAT' ENTERED AT 15:27:43 ON 24 OCT 2006

LAO 10/569812

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FILE CONTENT: 1961-PRESENT VOL 145 ISS 17 (20061020/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

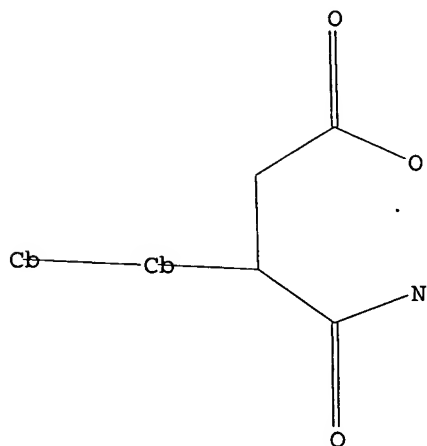
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

| | | | | |
|----|--------------|----|-----|------|
| US | 7108861 | 19 | SEP | 2006 |
| DE | 102005009517 | 31 | AUG | 2006 |
| EP | 1696501 | 30 | AUG | 2006 |
| JP | 2006228955 | 31 | AUG | 2006 |
| WO | 2006091896 | 31 | AUG | 2006 |
| GB | 2423301 | 23 | AUG | 2006 |
| FR | 2882363 | 25 | AUG | 2006 |
| RU | 2282647 | 27 | AUG | 2006 |
| CA | 2547866 | 22 | AUG | 2006 |

Expanded G-group definition display now available.

=> d que 171

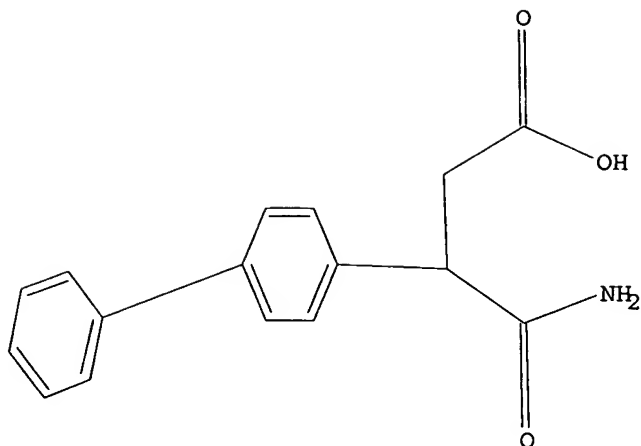
L47 STR



Structure attributes must be viewed using STN Express query preparation.

L55 348 SEA FILE=MARPAT SSS FUL L47

L68 STR



Structure attributes must be viewed using STN Express query preparation.

L70 103 SEA FILE=MARPAT SUB=L55 SSS FUL L68
L71 101 SEA FILE=MARPAT ABB=ON PLU=ON L70/COM

=> d ibib abs qhit l71 81-101

L71 ANSWER 81 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 124:232069 MARPAT <<LOGINID::20061024>>
TITLE: Preparation of arylsulfonylaminomethylhydroxamic acids and related compounds as matrix metalloproteinase inhibitors.
INVENTOR(S): Miller, Andrew; Whittaker, Mark; Beckett, Raymond Paul
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Ltd., UK
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9535276 | A1 | 19951228 | WO 1995-GB1465 | 19950622 |
| W: AU, BR, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, SK, UA, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2193691 | AA | 19951228 | CA 1995-2193691 | 19950622 |
| CA 2193692 | AA | 19951228 | CA 1995-2193692 | 19950622 |
| AU 9527466 | A1 | 19960115 | AU 1995-27466 | 19950622 |
| AU 690703 | B2 | 19980430 | | |
| GB 2303850 | A1 | 19970305 | GB 1996-23675 | 19950622 |
| GB 2303850 | B2 | 19980610 | | |
| EP 766665 | A2 | 19970409 | EP 1995-922639 | 19950622 |
| EP 766665 | B1 | 19990728 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| CN 1151157 | A | 19970604 | CN 1995-193714 | 19950622 |
| JP 10507158 | T2 | 19980714 | JP 1995-501848 | 19950622 |
| AT 182581 | E | 19990815 | AT 1995-922639 | 19950622 |

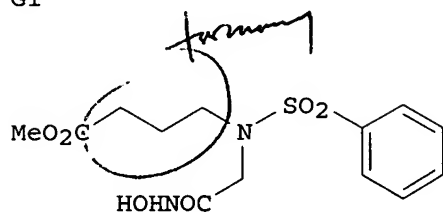
LAO 10/569812

| | | | | |
|------------|----|----------|----------------|----------|
| ES 2133785 | T3 | 19990916 | ES 1995-922639 | 19950622 |
| ES 2145913 | T3 | 20000716 | ES 1995-922638 | 19950622 |
| PT 766664 | T | 20000831 | PT 1995-922638 | 19950622 |
| FI 9605153 | A | 19961220 | FI 1996-5153 | 19961220 |
| US 6022898 | A | 20000208 | US 1996-765146 | 19961223 |
| US 6124332 | A | 20000926 | US 1999-243130 | 19990203 |
| US 6124329 | A | 20000926 | US 1999-343087 | 19990630 |

PRIORITY APPLN. INFO.:

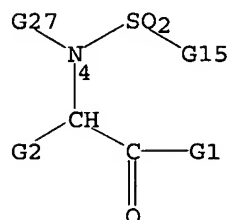
| | |
|----------------|----------|
| GB 1994-12514 | 19940622 |
| GB 1995-6107 | 19950324 |
| WO 1995-GB1465 | 19950622 |

GI



AB XR1CHNR2(YZ) [X = CO₂H, CONHOH; R₁ = (protected) amino acid side chain; R₂ = Z1QW; Z₁ = H, (substituted) aryl, heteroaryl, heterocyclyl, cycloalkyl, cycloalkenyl; QW = bond; or Q = O, S; W = (O-, S- or imino-interrupted) (substituted) alkylene, alkenylene; or Q = bond; Y = SO₂; Z = (substituted) aryl, heteroaryl], were prepared as metalloproteinase inhibitors (no data). I and 16 similar compds. were prepared

MSTR 1



G3 = biphenyl
G4 = alkylene <containing 1-8 C>
(opt. substd. by 1 or more G13)
G13 = CO₂H / CONH₂
G27 = 5

G4—G3
5 10

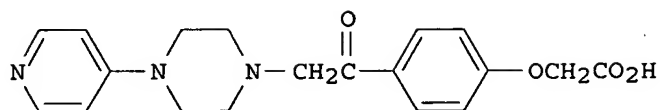
Derivative: or salts, hydrates, or solvates
Patent location: claim 1

L71 ANSWER 82 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 123:227994 MARPAT <<LOGINID::20061024>>
 TITLE: Heterocyclic derivatives as platelet aggregation inhibitors
 INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
 PATENT ASSIGNEE(S): Zeneca Ltd., UK
 SOURCE: PCT Int. Appl., 145 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

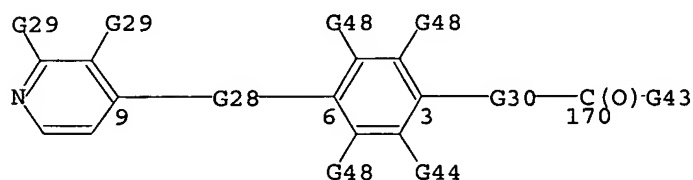
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9422834 | A1 | 19941013 | WO 1994-GB647 | 19940328 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2156070 | AA | 19941013 | CA 1994-2156070 | 19940328 |
| AU 9462889 | A1 | 19941024 | AU 1994-62889 | 19940328 |
| AU 692438 | B2 | 19980611 | | |
| EP 691959 | A1 | 19960117 | EP 1994-910494 | 19940328 |
| EP 691959 | B1 | 19980722 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| BR 9406613 | A | 19960206 | BR 1994-6613 | 19940328 |
| HU 72088 | A2 | 19960328 | HU 1995-2290 | 19940328 |
| CN 1120334 | A | 19960410 | CN 1994-191664 | 19940328 |
| JP 08508291 | T2 | 19960903 | JP 1994-521810 | 19940328 |
| EP 825184 | A1 | 19980225 | EP 1997-117909 | 19940328 |
| EP 825184 | B1 | 20010620 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| AT 168678 | E | 19980815 | AT 1994-910494 | 19940328 |
| ES 2119184 | T3 | 19981001 | ES 1994-910494 | 19940328 |
| RU 2142944 | C1 | 19991220 | RU 1995-122602 | 19940328 |
| IL 109144 | A1 | 20000229 | IL 1994-109144 | 19940328 |
| AT 202345 | E | 20010715 | AT 1997-117909 | 19940328 |
| ES 2159798 | T3 | 20011016 | ES 1997-117909 | 19940328 |
| PT 825184 | T | 20011130 | PT 1997-117909 | 19940328 |
| FI 9504616 | A | 19950928 | FI 1995-4616 | 19950928 |
| NO 9503837 | A | 19950928 | NO 1995-3837 | 19950928 |
| US 5750754 | A | 19980512 | US 1996-658097 | 19960604 |
| GR 3036640 | T3 | 20011231 | GR 2001-401498 | 20010918 |
| PRIORITY APPLN. INFO.: | | | GB 1993-6453 | 19930329 |
| | | | GB 1993-25605 | 19931215 |
| | | | GB 1993-6451 | 19930329 |
| | | | GB 1993-25610 | 19931215 |
| | | | EP 1994-910494 | 19940328 |
| | | | WO 1994-GB647 | 19940328 |
| | | | GB 1995-18188 | 19950907 |

GI



AB Pyridine derivs. and metabolically labile esters and amides thereof were disclosed as pharmaceuticals. The compds. are useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa. A specifically claimed compound is 4-[2-[4-(4-pyridinyl)-1-piperazinyl]acetyl]phenoxyacetic acid (I).

MSTR 1



G13 = 45-8 46-6

G14-G15
45 46

G15 = phenylene
G28 = 8-9 7-6

G1-G13
8 7

G30 = alkylene <containing 1-4 C> (opt. substd. by G37)

G37 = CO₂H

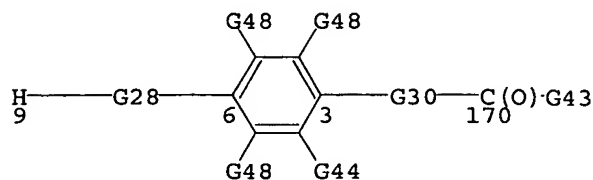
G43 = NH₂ (opt. substd.)

Derivative: and pharmaceutically acceptable salts

Patent location: claim 1

Note: substitution is restricted

MSTR 4



LAO 10/569812

G13 = 45-8 46-6

G14-G15
45 46

G15 = phenylene
G28 = 8-9 7-6

G1-G13
8 7

G30 = alkylene <containing 1-4 C> (opt. substd. by G37)

G37 = CO₂H

G43 = NH₂ (opt. substd.)

Derivative: or acid addition salts

Patent location: claim 17

Note: substitution is restricted

L71 ANSWER 83 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 122:315098 MARPAT <<LOGINID::20061024>>

TITLE: Preparation of peptide analogs as fibrinogen receptor antagonists

INVENTOR(S): Egbertson, Melissa S.; Turchi, Laura M.; Hartman, George D.; Halczenko, Wasyl; Whitman, David B.; Perkins, James J.; Krause, Amy E.; Ihle, Nathan; Claremon, David Alan; et al.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

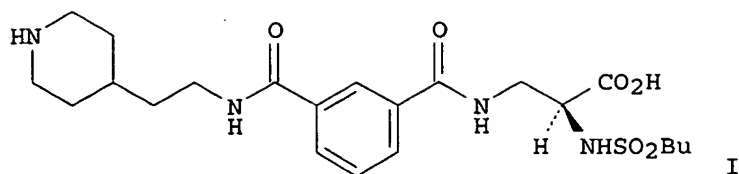
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

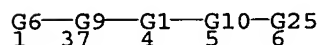
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 9412181 | A1 | 19940609 | WO 1993-US11623 | 19931129 |
| W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2150550 | AA | 19940609 | CA 1993-2150550 | 19931129 |
| AU 9458268 | A1 | 19940622 | AU 1994-58268 | 19931129 |
| AU 675689 | B2 | 19970213 | | |
| EP 673247 | A1 | 19950927 | EP 1994-904069 | 19931129 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 08504194 | T2 | 19960507 | JP 1993-513464 | 19931129 |
| US 5648368 | A | 19970715 | US 1995-448347 | 19950601 |
| PRIORITY APPLN. INFO.: | | | US 1992-984671 | 19921201 |
| | | | WO 1993-US11623 | 19931129 |

GI

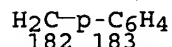


AB X-Y-Z-Ar-A-B [X = NR₁R₂, NR₁C(:NR₂)R₁, (substituted) 4-10 membered mono- or polycyclic (aromatic) ring, etc.; R₁-R₃ = H, alkyl, cycloalkyl, arylalkyl, aminoalkyl, hydroxyalkyl, etc.; Y = alkylene, cycloalkylene, Y₁NR₃COY₁, etc.; Y₁ = C0-8 alkyl; Z, A = (CH₂)_m, (CH₂)_mO(CH₂)_n, (CH₂)_mNR₃(CH₂)_n, (CH₂)_mSO₂(CH₂)_n, etc.; Ar = (substituted) 6-membered monocyclic aromatic ring containing 0-4 N atoms; B = CR₆R₇COR₁₂, CR₈R₉CR₁₀R₁₁(CH₂)_pCOR₁₂; R₇-R₁₁ = H, F, hydroxyalkyl, carboxyalkyl, alkoxy, cycloalkyl, dialkylaminoalkyl, arylalkylaminosulfonylalkyl, etc.; p = 0, 1; R₁₂ = OH, alkoxy, alkylcarbonyloxyalkoxy, amino acid residue, etc.; with provisos], were prepared Title compound I was prepared by solution phase coupling methods. Preferred title compds. inhibited platelet aggregation with IC₅₀ = 0.009-170 μM.

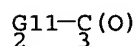
MSTR 1A



G1 = phenylene
G9 = 182-1 183-4



G10 = 2-4 3-6



G11 = carbon chain <0 or more double bonds,
0 or more triple bonds> (opt. substd. by G12)

G12 = CONH₂

G25 = OH

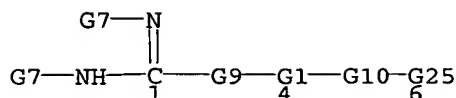
Derivative:

Patent location:

and pharmaceutically acceptable salts

claim 1

MSTR 1B



G1 = phenylene
G9 = p-C6H4
G10 = 2-4 3-6

$$\text{G11-C (O)}_{\text{2} \quad \text{3}}$$

G11 = carbon chain <0 or more double bonds,
0 or more triple bonds> (opt. substd. by G12)
G12 = CONH2
G25 = OH
Derivative: and pharmaceutically acceptable salts
Patent location: claim 1

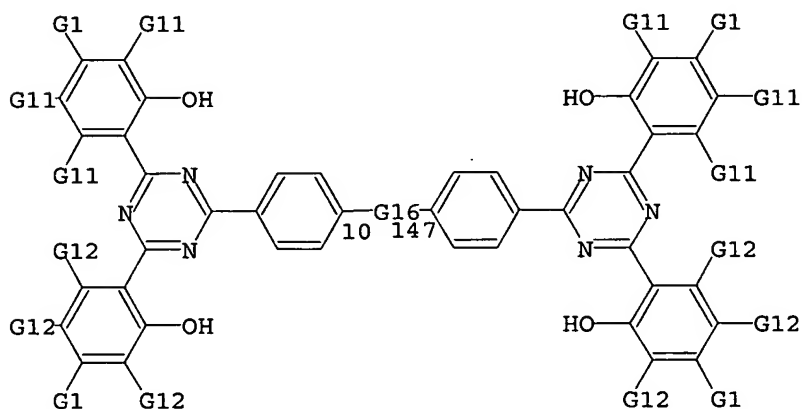
L71 ANSWER 84 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:268205 MARPAT <<LOGINID::20061024>>
TITLE: Electrocoat-base coat-clear coat finishes stabilized
with S-triazine UV absorbers
INVENTOR(S): Stevenson, Tyler A.; Holt, Mark S.; Ravichandran,
Ramanathan
PATENT ASSIGNEE(S): Ciba-Geigy Corp., USA
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 12,699,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 5354794 | A | 19941011 | US 1994-189627 | 19940201 |
| CA 2152169 | AA | 19940818 | CA 1994-2152169 | 19940202 |
| CA 2152169 | C | 20050517 | | |
| ES 2215996 | T3 | 20041016 | ES 1994-907964 | 19940202 |
| US 5476937 | A | 19951219 | US 1994-268093 | 19940628 |
| JP 2004352728 | A2 | 20041216 | JP 2004-243626 | 20040824 |
| PRIORITY APPLN. INFO.: | | | US 1993-12699 | 19930203 |
| | | | US 1994-189627 | 19940201 |
| | | | JP 1994-518217 | 19940202 |

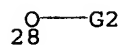
AB A polymer film composition comprises (a) an electro coat primer in adhesion to a metal substrate; (b) a base or color coat that is in adhesion to the electro coat and which comprises a film-forming binder and an organic pigment or an inorg. pigment or mixture thereof; (c) a clear coat that is in adhesion to the base coat and which comprises a film-forming binder; and (d) an effective stabilizing amount of ≥ 1 tris-aryl-s-triazine UV absorber contained in either the base coat or the clear coat or in both base coat and clear coat. The tris-aryl-s-triazine UV absorbers provide excellent light stability protection to electro coat, base coat or clear

coat finishes. A typical UV absorber was 2,4,6-tris[2-hydroxy 4-(2-hydroxy-3-nonyloxypropoxy)phenyl]-s-triazine and was used in a high solids thermoset acrylic coating.

MSTR 1

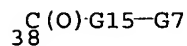


G1 = 28

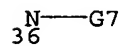


G2 = alkyl <containing 1-24 C>
(opt. substd. by (1-8) G3)

G3 = biphenyl (opt. substd. by (1-3) G4) / 38



G15 = 0 / 36



Patent location:

claim 3

Note:

alkyl group in G2 may be additionally interrupted
G21's are the same

L71 ANSWER 85 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 122:240447 MARPAT <<LOGINID::20061024>>

TITLE: Preparation of peptideamide analogs as tachykinin antagonists.

INVENTOR(S): Pieper, Helmut; Austel, Volkhard; Jung, Birgit;
Buerger, Erich; Entzeroth, Michael

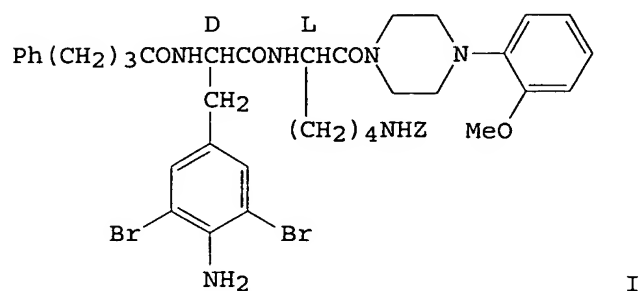
PATENT ASSIGNEE(S): Karl Thomas GmbH, Germany

LAO 10/569812

SOURCE: Ger. Offen., 101 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| DE 4243858 | A1 | 19940630 | DE 1992-4243858 | 19921223 |
| PRIORITY APPLN. INFO.: | | | DE 1992-4243858 | 19921223 |

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AB R4R5NACONHCHR3CXNR1R2 [A = 1,2-cyclopentylene, CHR6; R6 = H, (substituted) alkyl, Ph; R1 = H, (Ph- or pyridyl-substituted) alkyl; R2 = H, (amino- or guanidino-substituted) Ph, pyridyl, (cyclohexyl-, Ph-, or pyridyl-substituted) alkyl, etc.; R1R2N = (substituted) piperazinyl; R3 = H, (phenyl)alkyl, guanidino- or amino-substituted alkyl, aminocarbonylalkyl, etc.; R4 = H, (phenyl)alkyl; R5 = protecting group, (substituted) alkyl, alkanoyl, alkoxy carbonyl, alkylaminocarbonyl, PhCO, naphthylcarbonyl, biphenylcarbonyl, PhSO2, etc.; X = (H, H), O, S; the C atom bearing the R3 substituent is L; the C atom bearing the R6 substituent is D or L], were prepared Thus, title compound I (prepared by solution phase methods) showed IC50 = 2 nM for neurokinin-1 receptor binding with IM-9 cells. Tablets were prepared containing I.

MSTR 2

G1—G6

G1 = alkylcarbonyl <containing 1-9 C>
(opt. substd. by G2)

G2 = biphenyl / CONH2

G6 = OH

Patent location: claim 11

Note: substitution is restricted

LAO 10/569812

L71 ANSWER 86 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:85335 MARPAT <<LOGINID::20061024>>
TITLE: Fluorine-containing aromatic hydrocarbons for
lubricating oils
INVENTOR(S): Sanechika, Kenichi; Ikeda, Chiho; Ikeda, Masanori
PATENT ASSIGNEE(S): Asahi Chemical Ind, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| JP 06287578 | A2 | 19941011 | JP 1993-101804 | 19930406 |
| PRIORITY APPLN. INFO.: | | | JP 1993-101804 | 19930406 |

AB The oils comprise aromatic hydrocarbons of formula RR₁n, in which (R = C₆-60 arene; n = 1-4; R₁ = C₁-25 (partially stabilized) fluorohydrocarbyl having an atomic ratio of F/C ≥ 0.6). The oils show compatibility with fluoroalkane refrigerants.

MSTR 1A

G1—G2
2

G1 = 238

G7—G2
238 239

G2 = hydrocarbyl <containing 1-25 C>
(substd. by 1 or more G4)
G4 = CONH₂ / CO₂H
G7 = 240-2 242-239

G8—G10—G9
240 242

G8 = phenylene
G9 = phenylene
G10 = bond

Patent location: claim 1

L71 ANSWER 87 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:82078 MARPAT <<LOGINID::20061024>>
TITLE: Cyclic peptide antifungal agents and process for
preparation thereof
INVENTOR(S): Burkhardt, Frederick Joseph; Debono, Manuel; Nissen,
Jeffrey Scott; Turner, William Wilson, Jr.

LAO 10/569812

PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

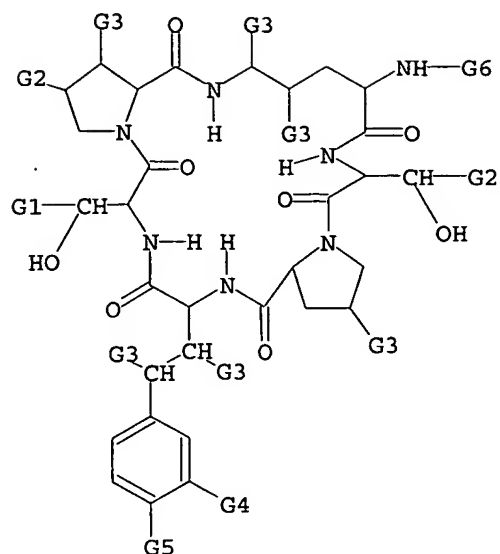
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| EP 561639 | A1 | 19930922 | EP 1993-302064 | 19930318 |
| EP 561639 | B1 | 20020515 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| CA 2091663 | AA | 19930920 | CA 1993-2091663 | 19930315 |
| ZA 9301830 | A | 19940915 | ZA 1993-1830 | 19930315 |
| IL 105048 | A1 | 20010614 | IL 1993-105048 | 19930315 |
| NZ 299314 | A | 20010928 | NZ 1993-299314 | 19930315 |
| CZ 288974 | B6 | 20011017 | CZ 1993-416 | 19930315 |
| IL 122315 | A1 | 20020310 | IL 1993-122315 | 19930315 |
| NZ 512085 | A | 20030829 | NZ 1993-512085 | 19930315 |
| NO 9300948 | A | 19930920 | NO 1993-948 | 19930316 |
| BR 9301232 | A | 19930921 | BR 1993-1232 | 19930318 |
| HU 63637 | A2 | 19930928 | HU 1993-785 | 19930318 |
| CN 1080926 | A | 19940119 | CN 1993-103587 | 19930318 |
| CN 1036715 | B | 19971217 | | |
| JP 06056892 | A2 | 19940301 | JP 1993-58529 | 19930318 |
| JP 3519754 | B2 | 20040419 | | |
| RU 2129562 | C1 | 19990427 | RU 1993-4787 | 19930318 |
| AT 217635 | E | 20020615 | AT 1993-302064 | 19930318 |
| JP 2002226500 | A2 | 20020814 | JP 2002-3969 | 19930318 |
| JP 3520071 | B2 | 20040419 | | |
| PT 561639 | T | 20021031 | PT 1993-302064 | 19930318 |
| ES 2174843 | T3 | 20021116 | ES 1993-302064 | 19930318 |
| AU 9335341 | A1 | 19930923 | AU 1993-35341 | 19930319 |
| AU 9665529 | A1 | 19961205 | AU 1996-65529 | 19960909 |
| AU 689391 | B2 | 19980326 | | |
| JP 2004115540 | A2 | 20040415 | JP 2003-412638 | 20031210 |
| PRIORITY APPLN. INFO.: | | | US 1992-854117 | 19920319 |
| | | | US 1992-992390 | 19921216 |
| | | | IL 1993-105048 | 19930315 |
| | | | JP 1993-58529 | 19930318 |

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (I; R, R11 = independently H, OH; R1 = H, OH, OSO₃H; R2 = substituted PhCO, biphenyl, naphthoyl, etc.; R7 = R1, phosphonoxy; R8 = H, Me, H₂NCOCH₂; R9, R10 = Me, H), were prepared. Thus, I (R = R7 = R11 = OH, R1 = H, R2 = Q1, R8 = R9 = R10 = Me), prepared by enzymic deacylation and then reacylation of echinocandin B, showed ED₅₀ = 0.84 mg/mL for controlling systemic fungal infections in mice. Several I were effective against *Pneumocystis carinii* in immunosuppressed rats. I in general exhibit oral bioavailability.

MSTR 1



G6 = 85

$\text{C}(\text{O})\text{G}_{12}\text{G}_{15}$
85 89

G12 = 86-85 88-89

$\text{G}_{37}\text{G}_{13}\text{G}_{14}$
86 88

G13 = bond
G14 = phenylene
G15 = alkynyl <containing 2-12 C>
(opt. substd. by (1-2) G16)
G16 = CO₂H / CONH₂
G37 = phenylene

Derivative: or pharmaceutically acceptable non-toxic salts
Patent location: claim 2

L71 ANSWER 88 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 120:217717 MARPAT <<LOGINID::20061024>>
TITLE: Quinazoline inhibitors of HIV reverse transcriptase
INVENTOR(S): Lyle, Terry A.; Tucker, Thomas J.; Wiscount, Catherine M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

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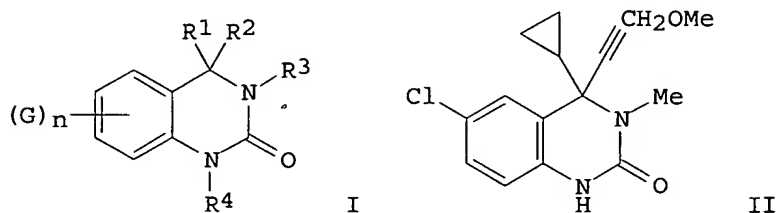
L71

88 of 101

PATENT INFORMATION:

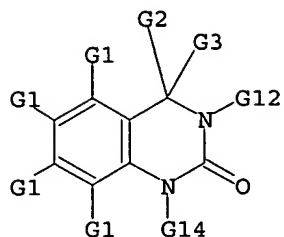
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| EP 569083 | A1 | 19931110 | EP 1993-201232 | 19930429 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| WO 9322292 | A1 | 19931111 | WO 1993-US3975 | 19930428 |
| W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9342204 | A1 | 19931129 | AU 1993-42204 | 19930428 |
| EP 639184 | A1 | 19950222 | EP 1993-910860 | 19930428 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| HU 71401 | A2 | 19951128 | HU 1994-3187 | 19930428 |
| CA 2095194 | AA | 19931108 | CA 1993-2095194 | 19930429 |
| AU 9338413 | A1 | 19931111 | AU 1993-38413 | 19930506 |
| CN 1085550 | A | 19940420 | CN 1993-107074 | 19930506 |
| ZA 9303179 | A | 19941107 | ZA 1993-3179 | 19930506 |
| JP 06009578 | A2 | 19940118 | JP 1993-107015 | 19930507 |
| JP 08013805 | B4 | 19960214 | | |
| FI 9405199 | A | 19941104 | FI 1994-5199 | 19941104 |
| NO 9404208 | A | 19950106 | NO 1994-4208 | 19941104 |
| PRIORITY APPLN. INFO.: | | | US 1992-880119 | 19920507 |
| | | | US 1992-991164 | 19921216 |
| | | | WO 1993-US3975 | 19930428 |

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AB The title compds. I [G = halogen, NO₂, CN; R¹ = C3-5 cycloalkyl, C2-5 alkynyl, C2-4 alkenyl, CN; R² = substituted C2-5 alkynyl, substituted C2-5 alkenyl; R³ = H, CN, NH₂, HO, (un)substituted C1-4 alkyl, (un)substituted C2-4 alkenyl, (un)substituted C2-4 alkynyl; R⁴ = H, C1-4 alkyl, C1-5 alkylcarbonyl, (un)substituted benzoyl, etc.; n = 0-4], useful in the treatment of AIDS and AIDS-related complex via the inhibition of HIV reverse transcriptase, are prepared. Thus, quinazoline II was prepared (m.p. 119-121°) and demonstrated 50% HIV reverse transcriptase inhibitory concentration 13 mM.

MSTR 1



G3 = alkynyl <containing 2-5 C>
 (opt. substd. by 1 or more G4)
 G4 = 24 / biphenyl

$\text{C}(\text{O})\text{G9}$
 24

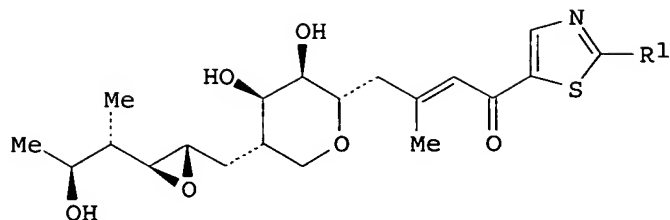
G9 = OH / NH2

Derivative: or pharmaceutically acceptable salts
 Patent location: claim 1
 Note: substitution is restricted

L71 ANSWER 89 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 120:191426 MARPAT <<LOGINID::20061024>>
 TITLE: Preparation of antibacterial 1-normon-2-yl thiazolyl
 ketones
 INVENTOR(S): Forrest, Andrew Keith; Pons, Jean Esther; O'Hanlon,
 Peter John
 PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9315072 | A1 | 19930805 | WO 1993-GB126 | 19930120 |
| W: AT, AU, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, RO | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG | | | | |
| AU 9333613 | A1 | 19930901 | AU 1993-33613 | 19930120 |
| EP 623130 | A1 | 19941109 | EP 1993-902425 | 19930120 |
| R: BE, CH, DE, FR, GB, IT, LI, NL | | | | |
| JP 07503244 | T2 | 19950406 | JP 1993-513016 | 19930120 |
| CN 1088926 | A | 19940706 | CN 1993-102064 | 19930121 |
| ZA 9300481 | A | 19931116 | ZA 1993-481 | 19930122 |
| PRIORITY APPLN. INFO.: | | | GB 1992-1506 | 19920124 |
| | | | GB 1992-15889 | 19920725 |
| | | | WO 1993-GB126 | 19930120 |

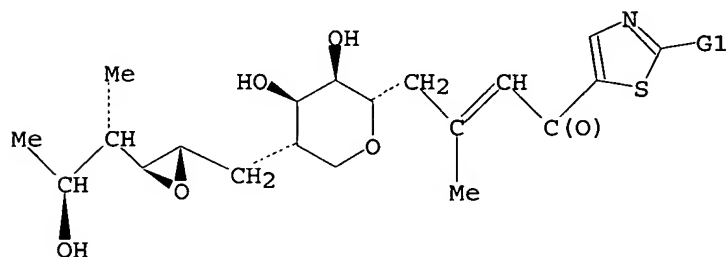
GI



I

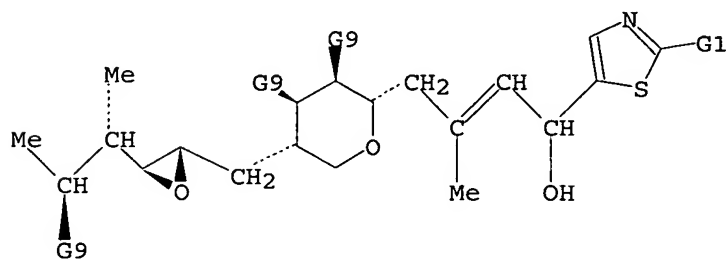
AB Title compds. [I; R1 = (substituted) alkoxy] were prepared Thus, 2-methoxythiazole in THF at -78° was treated with BuLi and then with N-methoxy-N-methyl-6,7,13-O-tris-(trimethylsilyl)monamide to give a residue which was stirred with HCl in THF to give I (R1 = OMe). I inhibited H. influenzae Q1, B. catarrhalis 1502, S. pyogenes CN10, S. pneumoniae PU7, and S. aureus Oxford with MIC's of 0.06-4 mg/mL.

MSTR 1



G1 = alkoxy <containing 1-10 C>
(opt. substd. by 1 or more G2)
G2 = CO2H / CONH2 / Ph (opt. substd. by (1-5) G4)
G4 = Ph
Patent location: claim 1

MSTR 3



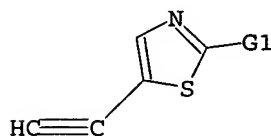
G1 = alkoxy <containing 1-10 C>
(opt. substd. by 1 or more G2)
G2 = CO2H / CONH2 / Ph (opt. substd. by (1-5) G4)

LAO 10/569812

G4 = Ph

Patent location: claim 8

MSTR 5



G1 = alkoxy <containing 1-10 C>
(opt. substd. by 1 or more G2)

G2 = CO2H / CONH2 / Ph (opt. substd. by (1-5) G4)

G4 = Ph

Patent location: claim 8

L71 ANSWER 90 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 120:107042 MARPAT <<LOGINID::20061024>>

TITLE: Preparation of pyrimidocycloalkanes as angiotensin II antagonists and antihyperlipidemics.

INVENTOR(S): Primeau, John Laurent; Garrick, Lloyd Michael; Ocain, Timothy Donald; Soll, Richard Michael; Dollings, Paul Jeffrey

PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

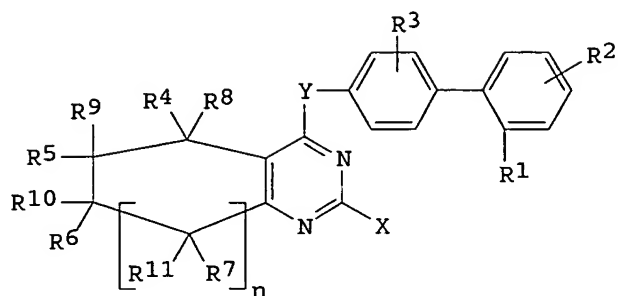
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

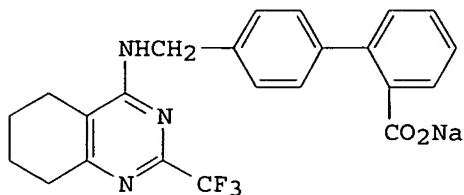
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 9308171 | A1 | 19930429 | WO 1992-US8992 | 19921023 |
| W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG | | | | |
| US 5234936 | A | 19930810 | US 1991-782017 | 19911024 |
| AU 9331228 | A1 | 19930521 | AU 1993-31228 | 19921023 |
| EP 610439 | A1 | 19940817 | EP 1992-925019 | 19921023 |
| EP 610439 | B1 | 19991215 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE | | | | |
| AT 187717 | E | 20000115 | AT 1992-925019 | 19921023 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1991-782017 | 19911024 |
| | | | WO 1992-US8992 | 19921023 |

GI



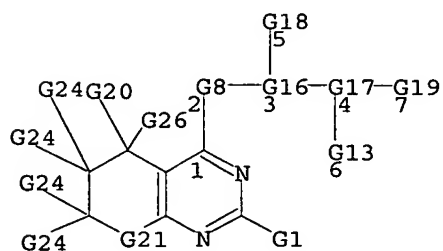
I



II

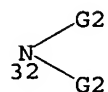
AB Title compds. [I; X = H, NR₁₂R₁₃, OR₁₄, cyano, F, Cl, iodo, Br, (perfluoro)alkyl, hydroxyalkyl, alkoxyalkyl, (CH)_nCO₂R₁₄, (CH₂)_nCONR₁₂R₁₃; Y = NR₁₅, NR₁₈CR₁₆R₁₇, CR₁₆R₁₇NR₁₅; R₁ = 5-tetrazolyl, CO₂R₁₄, SO₃H, NHSO₂Me, NHSO₂CF₃; R₂, R₃ = X, aralkyl, NO₂, SO₂R₁₉; R₄-R₁₁ = H, F, alkyl, alkoxyalkyl, OCOR₁₄, hydroxylalkyl, perfluoroalkyl, aralkyl, aryl, cyano, NO₂, SO₂R₁₉, (CH₂)_n(O₂R₁₄, (CH₂)_nCONR₁₂R₁₃, OH, OR₁₄, NR₁₂R₁₃, or any 2 geminal groups can = O, CH₂; R₁₂, R₁₃ = H, alkyl, aralkyl; R₁₄ = H, alkyl, aralkyl, alkoxyalkyl; R₅ = H, alkyl, (CH₂)_nCO₂R₁₄, alkoxyalkyl, aralkyl, (CH₂)_nCONR₁₂R₁₃, OR₁₄, perfluoroalkyl, hydroxyalkyl, COR₁₄, CONR₁₂R₁₃; R₁₆, R₁₇ = H, alkyl, alkoxyalkyl, hydroxyalkyl, perfluoroalkyl, aralkyl, cyano, NO₂, SO₂R₁₉, (CH₂)_nCO₂R₁₄, (CH₂)_nCONR₁₂R₁₃; R₁₈ = H, alkoxyalkyl, hydroxyalkyl, perfluoroalkyl, aralkyl, OR₁₄, (CH₂)_nCO₂R₁₄, (CH₂)_nCONR₁₂R₁₃, alkyl, COR₁₄, CONR₁₂R₁₃; R₁₉ = (ar)alkyl; n = 0-3; m = 1-5], were prepared Thus, 2-ethoxycarbonylcyclohexanone was cyclocondensed with trifluoroacetamidine to give 57% 5,6,7,8-tetrahydro-2-trifluoromethyl-4-quinazolone, which was 4-chlorinated with POCl₃ in dimethylaniline at reflux. The product was condensed with 4'-aminomethyl-1,1'-biphenyl-2-ylcarboxylic acid using NaOAc in refluxing BuOH to give title compound II. A specific I at 3 mg/kg id reduced angiotensin II-dependent blood pressure in rats by 45% 1/2 h after administration. I at 100-200 mg/kg orally in rats typically gave a 50% drop in total cholesterol.

MSTR 1



LJAO 10/569812

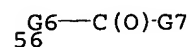
G6 = (0-3) CH2
G7 = OH / 32



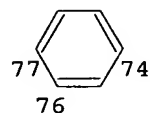
G8 = 44-1 45-3 / 46-1 47-3



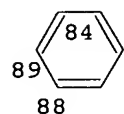
G11 = 56



G16 = 77-2 74-4 76-5



G17 = 89-3 88-6 84-7



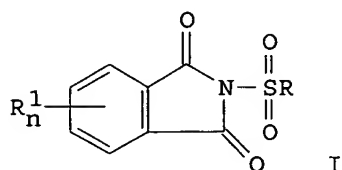
G27 = alkylidene (opt. substd. by G11)
Derivative: and pharmaceutically acceptable salts
Patent location: claim 1
Note: additional ring derivatives allowed

L71 ANSWER 91 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 119:234022 MARPAT <<LOGINID::20061024>>
TITLE: Preparation of sulfonylphthalimides as inhibitors of
platelet-derived growth factor.
INVENTOR(S): Clader, John W.; Davis, Harry R.; Mullins, Deborra;
Rosenblum, Stuart; Weinstein, Jay
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 22 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English

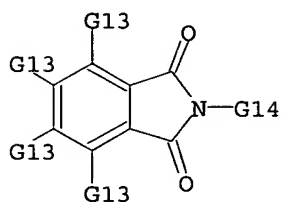
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 5238950 | A | 19930824 | US 1991-808997 | 19911217 |
| PRIORITY APPLN. INFO.: | | | US 1991-808997 | 19911217 |
| GI | | | | |



AB The sulfonylphthalimides I [R = (un)substituted Ph or naphthyl, etc., R1 = NO₂, NH₂, BzNH, etc., n = 0,1] and related compds. are prepared as platelet-derived growth factor (PDGF) inhibitors, useful for the treatment of atherosclerosis, cancer, retinal detachment, etc. (no data). 2-Methyl-5-chlorobenzenesulfonamide (preparation given) was refluxed with phthaloyl chloride, in toluene, to give I (R = 2-methyl-5-chlorophenyl, R1n= H) (II). II inhibited the binding of PDGF to PDGF receptors on human fibroblasts.

MSTR 1A

G1 = Ph (opt. substd. by (1-5) G2)
 G2 = Ph
 G14 = 13

O₂S—G16—G1
 13

G16 = alkylene (opt. substd. by (1-6) G20)
 G20 = CO₂H / CONH₂

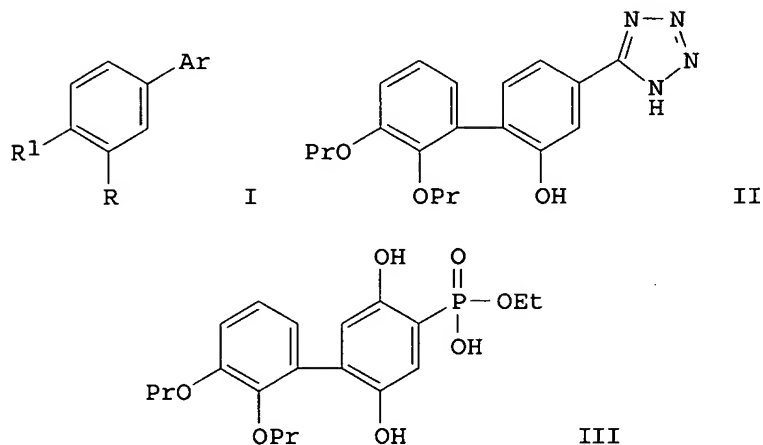
Derivative: or pharmaceutically acceptable addition salts
 Patent location: claim 8

L71 ANSWER 92 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 119:159867 MARPAT <<LOGINID::20061024>>

TITLE: Phenol derivatives as agonists of a cyclic AMP-dependent protein kinase
 INVENTOR(S): Porter, Roderick Alan; Prain, Hunter Douglas; Murray, Kenneth John; Warrington, Brian Herbert
 PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

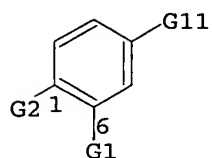
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9310107 | A1 | 19930527 | WO 1992-GB2119 | 19921116 |
| W: AU, CA, JP, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE | | | | |
| AU 9229274 | A1 | 19930615 | AU 1992-29274 | 19921116 |
| EP 620815 | A1 | 19941026 | EP 1992-923480 | 19921116 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE | | | | |
| JP 07503235 | T2 | 19950406 | JP 1992-509095 | 19921116 |
| ZA 9208894 | A | 19940518 | ZA 1992-8894 | 19921118 |
| PRIORITY APPLN. INFO.: | | | GB 1991-24579 | 19911120 |
| | | | WO 1992-GB2119 | 19921116 |

GI



AB The title compds. I (Ar = Ph, substituted phenyl; R = HO or bioprecursor; R1 = tetrazolyl, carboxyalkyl, etc.) and their uses as pharmaceuticals are claimed. I are cyclic adenosine monophosphate-dependent protein kinase antagonists. I are potentially useful as antiproliferative agents, blood platelet aggregation inhibitors, smooth muscle relaxants, bronchodilators, antiallergics, inflammation inhibitors, antihypercholesteremics, and for treatment of irritable bowel syndrome (no data). Treatment of 2-hydroxy-4-(2,3-dipropoxyphenyl)benzonitrile with sodium azide/ammonium chloride in N-methylpyrrolidinone gave 2-(5-tetrazolyl)-5-(2,3-dipropoxyphenyl)phenol (II). The pharmacol. activity of II was not tested. Also prepared was Et 2-hydroxy-4-(2,3-dipropoxyphenyl)phenyl phosphonate (III).

MSTR 1



G11 = Ph (opt. substd. by (1-3) G12)
 G12 = alkyl <containing 1-6 C> (opt. substd. by G15)
 G15 = 53

$\text{C}(\text{O})\text{G16}$
 53

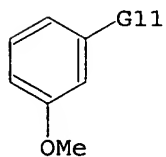
G16 = OH / NH2

Derivative:

Patent location:

or pharmaceutically acceptable salts
 claim 1

MSTR 2



G11 = Ph (opt. substd. by (1-3) G12)
 G12 = alkyl <containing 1-6 C> (opt. substd. by G15)
 G15 = CO2H / 53

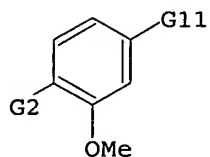
$\text{C}(\text{O})\text{G16}$
 53

G16 = NH2

Patent location:

claim 10

MSTR 4

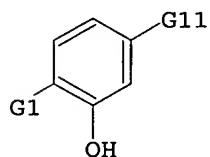


G11 = Ph (opt. substd. by (1-3) G12)
 G12 = alkyl <containing 1-6 C> (opt. substd. by G15)
 G15 = CO₂H / 53

⁵³C(O)-G16

G16 = NH₂
 Patent location: claim 10

MSTR 6



G11 = Ph (opt. substd. by (1-3) G12)
 G12 = alkyl <containing 1-6 C> (opt. substd. by G15)
 G15 = CO₂H / 53

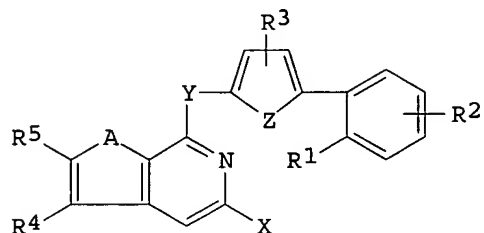
⁵³C(O)-G16

G16 = NH₂
 Patent location: claim 10

L71 ANSWER 93 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 119:139256 MARPAT <<LOGINID::20061024>>
 TITLE: Preparation of substituted quinazolines as angiotensin
 II antagonists
 INVENTOR(S): Primeau, John L.; Garrick, Lloyd M.
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: U.S., 18 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

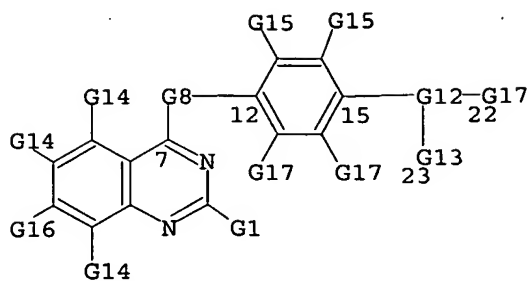
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 5187168 | A | 19930216 | US 1991-782850 | 19911024 |
| US 5236925 | A | 19930817 | US 1992-927032 | 19920806 |
| WO 9308170 | A1 | 19930429 | WO 1992-US8991 | 19921023 |
| W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG | | | | |
| AU 9331227 | A1 | 19930521 | AU 1993-31227 | 19921023 |
| EP 612317 | A1 | 19940831 | EP 1992-925018 | 19921023 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE | | | | |
| JP 07500344 | T2 | 19950112 | JP 1992-507898 | 19921023 |
| US 5256781 | A | 19931026 | US 1993-34030 | 19930322 |
| PRIORITY APPLN. INFO.: | | | US 1991-782850 | 19911024 |
| | | | US 1992-927032 | 19920806 |
| | | | WO 1992-US8991 | 19921023 |

GI

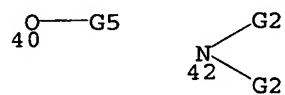


AB Title compds. I (A, Z = O, S, imino, CR7:CR8; R7, R8 = H, alkyl, alkoxyalkyl, HO2C, halo, perfluoroalkyl, aralkyl, NC, O2N, etc.; X = H, halo, perfluoroalkyl, alkoxyalkyl, R9R10N, carbamoyl(alkyl), etc.; R9, R10 = H, alkyl, alkoxyalkyl, aralkyl, Y = R13N, etc.; R13 = H, alkyl, perfluoroalkyl, etc.; R1 = 5-tetrazolyl, HO3S, HO2C, MeSO2NH, etc.; R2-R4 = R7; R5 = alkyl, halo, alkyl, HO, R9R10N, NC, etc.) or a salt thereof, are prepared 4,2-Cl(O2N)C6H3CONH2 (preparation given) was reduced to the amino derivative, treated with F3CCONH2 to give 7-chloro-2-trifluoromethyl-4-quinazolinone, chlorinated with POCl3, and the dichloro derivative was treated with 4'-(aminomethyl)-1,1'-biphenyl-2-carboxylic acid to give I (A = Z = CH:CH, X = F3C, Y = NH, R = HO2C R2 = R3 = R4 = H, R5 = 8-Cl). A similar prepared compound I (A = S, Z = CH:CH2, X = F3C, Y = NH, R1 = NaO2C, R2 = R3 = R4 = R5 = H) at 10 mg/kg i.d. lowered the angiotensin II-dependent blood pressure by .apprx.45% at 1/2 h post administration.

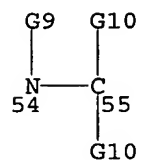
MSTR 1C



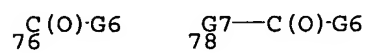
G6 = 40 / 42



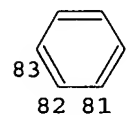
G7 = (1-3) CH₂
G8 = 54-7 55-12



G10 = 76 / 78



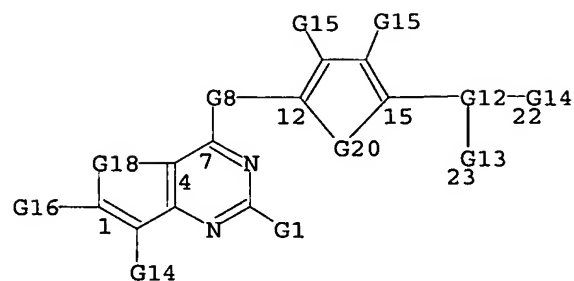
G12 = 83-15 82-23 81-22



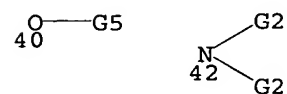
Derivative: or pharmaceutically acceptable salts, solvates, and hydrates
Patent location: claim 1

MSTR 2

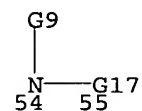
LAO 10/569817



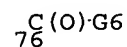
G6 = 40 / 42



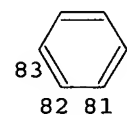
G8 = 54-7 55-12



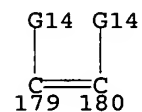
G10 = 76



G12 = 83-15 82-23 81-22



G17 = alkylidene (opt. substd. by 1 or more G10)
G20 = 179-12 180-15



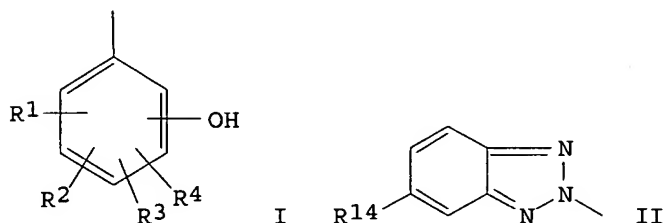
Derivative: or pharmaceutically acceptable salts, solvates, and
hydrates
Patent location: disclosure

Note: substitution is restricted

L71 ANSWER 94 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 117:100829 MARPAT <<LOGINID::20061024>>
 TITLE: Method for forming photographic images by using silver
 dye bleach method
 INVENTOR(S): Laver, Hugh Stephen; Leppard, David G.
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 20 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| EP 465412 | A1 | 19920108 | EP 1991-810473 | 19910619 |
| R: BE, CH, DE, DK, FR, GB, IT, LI, NL, SE | | | | |
| CA 2045718 | AA | 19911229 | CA 1991-2045718 | 19910626 |
| JP 04233534 | A2 | 19920821 | JP 1991-183549 | 19910628 |
| PRIORITY APPLN. INFO.: | | | CH 1990-2150 | 19900628 |
| | | | CH 1990-3052 | 19900920 |

GI



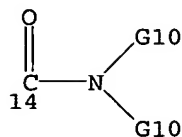
AB The title method comprises exposure of the photog. material in presence of a phenolic stabilizer $X(Y)_n$ [$n = 1, 2, 4$; $Y = I$ where $R_1, R_2 = H, OH$; $R_3, R_4 = R_1$, halogen, alkyl, alkoxy, Ph, phenoxy, naphthyl, naphthoxy, $OCOR_8$ ($R_8 = \text{alkyl, alkenyl, benzyl}$; X (when $n = 1$) = $H, R_{10}QCO(CH_2)_m, R_{10}C(:NR_{11}), R_{10}SO, R_{10}SO_2, II$ ($m = 0-3$; $R_{10} = H, \text{alkyl, alkenyl, phenylalkyl, naphthyl, substituted Ph}$; $Q = \text{bond, O, NR}_9, OCO$; $R_{11} = H, \text{alkyl, Ph, benzyl}$; $R_{14} = H, \text{alkyl, halogen, alkoxy}$; $R_9 = H, \text{alkyl}$); X (when $n = 2$) = $CO, SO, SO_2, :C:NR_{11}, ((CH_2)_mCO)_2Z, R_{18}$ ($R_{18} = \text{alkylene, alkenylene, alkynylene, phenylene, -p-C}_6\text{H}_4\text{-CMe}_3\text{-p-C}_6\text{H}_4\text{-}$; $Z = \text{direct bond, alkylene, phenylene, etc.}$; X (when $n = 4$) = $C((CH_2)_mCO_2(CH_2)_m)_4$]. The material shows improved color d. retention.

MSTR 1B

G3—G1—G16—G14—G3
 2 97

LAO 10/5698.12

G1 = phenylene (substd. by 1 or more G2)
G3 = alkyl <containing 1-18 C> (opt. substd. by G5)
G5 = CO₂H / 14



G16 = phenylene
Patent location: claim 2

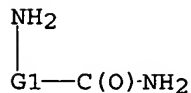
L71 ANSWER 95 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 115:159796 MARPAT <<LOGINID::20061024>>
TITLE: Preparation of α -amino acids
INVENTOR(S): Mizuno, Tadashi; Tabei, Nobuaki; Okamura, Haruki;
Oosu, Motomasa
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| JP 03093756 | A2 | 19910418 | JP 1989-231163 | 19890905 |
| PRIORITY APPLN. INFO.: | | | JP 1989-231163 | 19890905 |

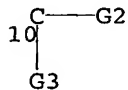
OTHER SOURCE(S): CASREACT 115:159796

AB α -Amino acids are prepared by liquid-phase hydrolysis of H₂NCR₁R₂CONH₂ [R₁, R₂ = H, cyclohexyl, (substituted) lower alkyl or Ph] by contacting with H₂O in presence of Zn(OH)₂. A mixture containing H₂NCH(CONH₂)CH₂CH₂SMe, H₂O, and Zn(OH)₂ was autoclaved at 140° for 2 h to give 88% methionine, vs. 10% without Zn(OH)₂.

MSTR 1



G1 = 10



G2 = alkyl <containing 1-4 C>

LAO 10/569812

(opt. substd. by 1 or more G4)
G3 = Ph (opt. substd. by 1 or more G4)
G4 = CO₂H / Ph (opt. substd. by 1 or more OH)
Patent location: claim 1

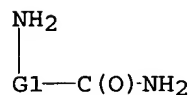
L71 ANSWER 96 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 115:159795 MARPAT <<LOGINID::20061024>>
TITLE: Preparation of α -amino acids
INVENTOR(S): Mizuno, Tadashi; Tabei, Nobuaki; Okamura, Haruki;
Nagai, Koichi; Oosu, Motomasa
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| JP 03093755 | A2 | 19910418 | JP 1989-231162 | 19890905 |
| PRIORITY APPLN. INFO.: | | | JP 1989-231162 | 19890905 |

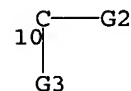
OTHER SOURCE(S): CASREACT 115:159795

AB α -Amino acids are prepared by liquid-phase hydrolysis of H₂NCR₁R₂CONH₂ [R₁, R₂ = H, cyclohexyl, (substituted) lower alkyl or Ph] by contacting with H₂O in presence of heteropoly acids or their salts. A mixture containing H₂NCH(CONH₂)CH₂CH₂SMe, H₂O, and ammonium cesium molybdophosphate (I) was autoclaved at 140° for 2 h to give 94% methionine, vs. 10% without I.

MSTR 1



G1 = 10



G2 = alkyl <containing 1-4 C>
(opt. substd. by 1 or more G4)
G3 = Ph (opt. substd. by 1 or more G4)
G4 = CO₂H / Ph (opt. substd. by 1 or more OH)
Patent location: claim 1

L71 ANSWER 97 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 115:159794 MARPAT <<LOGINID::20061024>>
TITLE: Preparation of α -amino acids

LAO 10/569812

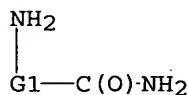
INVENTOR(S): Mizuno, Tadashi; Tabei, Nobuaki; Okamura, Haruki;
Yoshioka, Hiroshi; Oosu, Motomasa
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| JP 03093754 | A2 | 19910418 | JP 1989-229726 | 19890904 |
| PRIORITY APPLN. INFO.: | | | JP 1989-229726 | 19890904 |

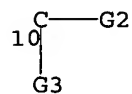
OTHER SOURCE(S): CASREACT 115:159794

AB α -Amino acids are prepared by liquid-phase hydrolysis of $H_2NCR_1R_2CONH_2$ [$R_1, R_2 = H$, cyclohexyl, (substituted) lower alkyl or Ph] by contacting with H_2O in the presence of compound metal oxides. An aqueous solution of Nb_2O_5 was treated dropwise with $Ti(OCHMe_2)_4$ to give a precipitated double hydroxide, which was calcined 6 h at 300° to afford $TiO_2-Nb_2O_5$ catalyst. Then, $H_2NCH(CONH_2)CH_2CH_2SMe$, H_2O , and the catalyst were autoclaved at 140° for 2 h to give 94% methionine, vs. 10% without the catalyst.

MSTR 1



G1 = 10



G2 = alkyl <containing 1-4 C>
(opt. substd. by 1 or more G4)
G3 = Ph (opt. substd. by 1 or more G4)
G4 = CO_2H / Ph (opt. substd. by 1 or more OH)
Patent location: claim 1

L71 ANSWER 98 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 115:159793 MARPAT <<LOGINID::20061024>>
TITLE: Preparation of α -amino acids
INVENTOR(S): Mizuno, Tadashi; Tabei, Nobuaki; Okamura, Haruki;
Sato, Hiroshi; Oosu, Motomasa; Too, Yasuhiko
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

LAO 10/569812

FAMILY ACC. NUM. COUNT: 1

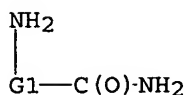
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| JP 03093753 | A2 | 19910418 | JP 1989-229725 | 19890904 |
| PRIORITY APPLN. INFO.: | | | JP 1989-229725 | 19890904 |

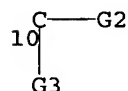
OTHER SOURCE(S): CASREACT 115:159793

AB α -Amino acids are prepared by liquid-phase hydrolysis of $H_2NCR_1R_2CONH_2$ [$R_1, R_2 = H$, cyclohexyl, (substituted) lower alkyl or Ph] by contacting with H_2O in presence of ZrO_2 , TiO_2 , and/or Nb_2O_5 . A mixture containing $H_2NCH(CONH_2)CH_2CH_2SMe$, H_2O , and ZrO_2 was autoclaved at 140° for 2 h to give 94% methionine, vs. 10% without ZrO_2 .

MSTR 1



G1 = 10



G2 = alkyl <containing 1-4 C>

(opt. substd. by 1 or more G4)

G3 = Ph (opt. substd. by 1 or more G4)

G4 = CO_2H / Ph (opt. substd. by 1 or more OH)

Patent location: claim 1

L71 ANSWER 99 OF 101 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 115:92272 MARPAT <<LOGINID::20061024>>

TITLE: Preparation of (6,7-dihydro-5H-pyrrolo[1,2-c]imidazol-5-yl)- and (5,6,7,8-tetrahydroimidazo[1,5-a]pyridin-5-yl) substituted 1H-benzotriazole derivatives as aromatase inhibitors

INVENTOR(S): Greco, Michael N.; Janssen, Marcel August Constant

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

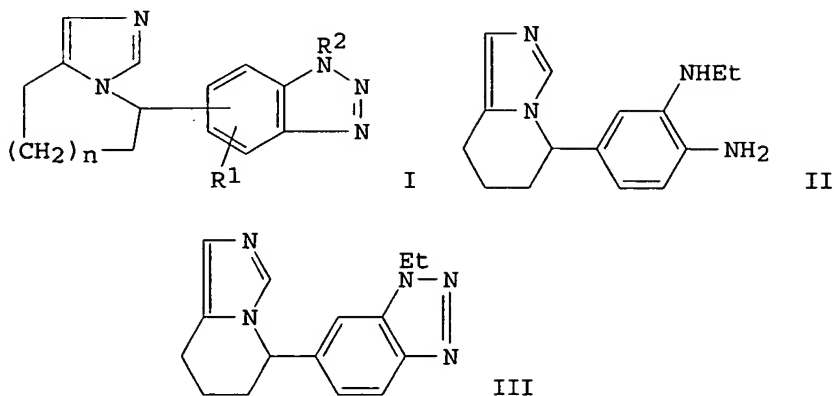
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| EP 426225 | A2 | 19910508 | EP 1990-202751 | 19901016 |
| EP 426225 | A3 | 19911009 | | |

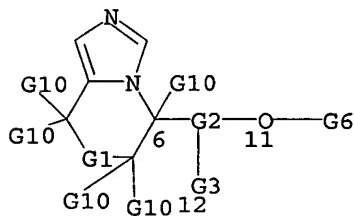
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

| | | | | |
|------------------------|----|----------|-----------------|----------|
| US 5066656 | A | 19911119 | US 1990-580393 | 19900910 |
| CA 2026792 | AA | 19910502 | CA 1990-2026792 | 19901003 |
| JP 03153686 | A2 | 19910701 | JP 1990-284509 | 19901024 |
| PRIORITY APPLN. INFO.: | | | US 1989-430030 | 19891101 |

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AB Title compds. [I; R1 = H, NO₂, amino, halo, alkyl, OH, alkoxy; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, bicyclo[2.2.1]heptan-1-yl, 2,3-dihydro-1H-indenyl, 1,2,3,4-tetrahydronaphthalenyl, (substituted) Ph, OR3, alkyl substituted with phenylalkyl, naphthalenyl, thienyl, furyl, alkylfuryl, cycloalkyl, OH, or alkoxy; R3 = H, (substituted) alkyl, alkenyl, phenylalkyl, alkynyl, pyrimidinyl, PH₂C, alkylpiperidin-4-yl; n = 0,1], were prepared Thus, phenylenediamine II in 5 N HCl at 0° was treated with NaNO₂ to give 43.5% title compound III. I at 1 mg/kg s.c. in female rats gave 80-98% aromatase inhibition. Several I are said to show reduced hepatotoxicity relative to prior art compds.

MSTR 1A

G1 = bond
 G6 = alkyl <containing 1-10 C>
 (opt. substd. by 1 or more G8)
 G8 = CONH₂ / CO₂H / 77

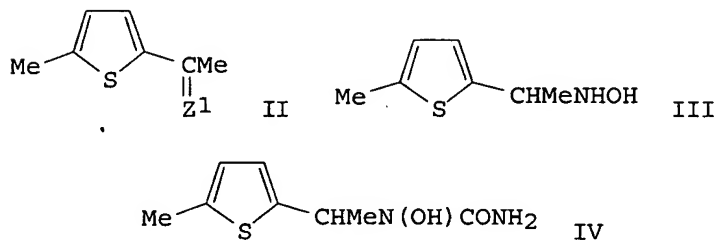
P-C₆H₄Ph

Derivative: or pharmaceutically acceptable acid addition salts
 Patent location: claim 1
 Stereochemistry: or stereochemically isomeric forms

L71 ANSWER 100 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 114:185252 MARPAT <<LOGINID::20061024>>
 TITLE: Preparation of (thienylalkyl) urea derivative as
 lipoxxygenase inhibiting compounds
 INVENTOR(S): Brooks, Dee W.; Stewart, Andrew O.; Summers, James B.;
 Kerkman, Daniel J.; Martin, Jonathan G.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 102 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9012008 | A1 | 19901018 | WO 1990-US1488 | 19900320 |
| W: CA, JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE | | | | |
| CA 2050597 | AA | 19901001 | CA 1990-2050597 | 19900320 |
| JP 04504261 | T2 | 19920730 | JP 1990-506101 | 19900320 |
| EP 588785 | A1 | 19940330 | EP 1990-906504 | 19900320 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE | | | | |
| US 5185363 | A | 19930209 | US 1991-768621 | 19910930 |
| PRIORITY APPLN. INFO.: | | | US 1989-331566 | 19890330 |
| | | | US 1986-856725 | 19860425 |
| | | | US 1987-42491 | 19870424 |
| | | | WO 1990-US1488 | 19900320 |

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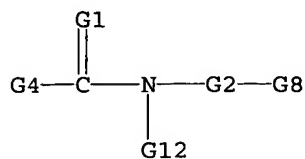


AB R1R2NC(Z)N(OM)XR3 [I; R1, R2 = H, (substituted) C1-6 alkyl, OH; R3 = (substituted) Ph, naphthyl, thienyl, etc.; M = H, cation, aroyl, etc.; X = (substituted) C1-6 alkylene, C2-6 alkenylene, etc.; Z = O, S], useful as 5- and 12-lipoxxygenase inhibitors in treatment of inflammatory diseases, etc., are prepared To a stirred solution of 5.0 g acetylthiophene derivative (II; Z1 = O) in 1:1 EtOH-pyridine was added H2NOH.HCl with stirring to give quant. oxime (II; Z1 = NOH), which (5.5 g) was reduced with BH3-pyridine in EtOH to give 2.2 g hydroxylamine derivative III. To a stirred solution of 2.2

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g III in THF was added Me₃SiNCO, followed by saturated NH₄Cl to give 1.7 g urea derivative IV, which showed IC₅₀ of 0.53 + 10⁻⁶M in vitro against 5-lipoxygenase and 94% inhibition of in vivo leukotriene biosynthesis at 200 μmol/kg orally in rats. Also prepared and tested were 157 addnl. I.

MSTR 1A



G2 = alkylene <containing 1-6 C>
(opt. substd. by 1 or more G3)
G3 = 24

₂₄C(O)G17

G4 = 7

₇G5—G6

G5 = 9

₉N—G21

G7 = 24

₂₄C(O)G17

G8 = 30

₃₀G22—G23

G17 = NH₂ / OH
G19 = 24

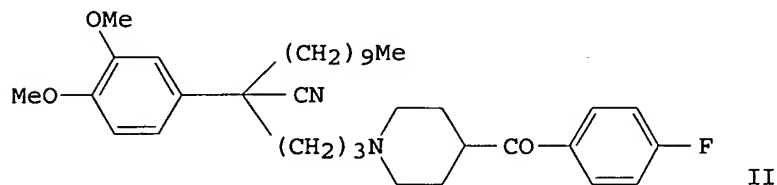
₂₄C(O)G17

G21 = alkyl <containing 1-6 C>
 (opt. substd. by 1 or more G7) /
 aryl (opt. substd. by 1 or more G19)
 G22 = phenylene
 G23 = Ph (opt. substd. by 1 or more G13)
 Derivative: or pharmaceutically acceptable salts
 Patent location: claim 1

L71 ANSWER 101 OF 101 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 113:211849 MARPAT <<LOGINID::20061024>>
 TITLE: Arylalkylpiperidines and -piperazines as
 antihypertensives
 INVENTOR(S): Syoji, Masataka; Toyota, Kozo; Eguchi, Chikahiko;
 Domoto, Hideki; Yoshimoto, Ryota; Kamimura, Akira
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
 SOURCE: Eur. Pat. Appl., 59 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------|------|----------|-----------------|----------|
| EP 370712 | A2 | 19900530 | EP 1989-311961 | 19891117 |
| EP 370712 | A3 | 19911002 | | |
| R: CH, DE, FR, GB, IT, LI | | | | |
| JP 02262541 | A2 | 19901025 | JP 1989-26232 | 19890203 |
| PRIORITY APPLN. INFO.: | | | JP 1988-293408 | 19881118 |
| | | | JP 1988-303461 | 19881130 |
| | | | JP 1989-26232 | 19890203 |
| | | | JP 1989-64059 | 19890316 |

GI

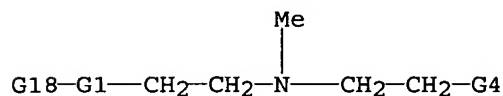


AB QXCH2CH2N(Z)CH2CH2YW[I; Q = PhO, 4-F3CC6H4, 2-O2NC6H4, 2-H2NC6H4, 2-EtO2CNHC6H4, naphthyl, etc.; X = (substituted) (heteroatom-interrupted) alkylene, alkenylene; Z = Me; W = H; ZW = CH2CH2; Y = PhCOCH, 4-FC6H4COCH, 4-FC6H4CON, PhN, 4-FC6H4 CH:C Ph2CHN, 4-FC6H4 SO2N, etc.], were prepared
 Thus, 3,4-(MeO)2C6H3CH2CN in dimethoxyethane (DME) was added dropwise to NaNH2 in DME at room temp; the mixture was then stirred at 50° for 1 h and Br(CH2)9Me in DME was added at room temperature The mixture was stirred
 in 1 h at room temperature and 2 h at 50°, cooled, treated with NaNH2, stirred 2 h at 50°, cooled, treated with Br(CH2)3Cl in DME, stirred 1 h at room temperature and 2 h at 50° to give 3,4-

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(MeO)₂C₆H₃C[(CH₂)₉Me][(CH₂)₃Cl]CN. The latter was refluxed with 4-(4-fluorobenzoyl)piperidine.HCl, K₂CO₃, and NaI in MeCOCH₂CHMe₂ overnight to give II. I at 10 mg/kg i.v. in rats reduced blood pressure by up to 135 mm Hg 30 min after administration.

MSTR 1A



G1 = carbon chain (opt. substd. by 1 or more G17)
G17 = 119

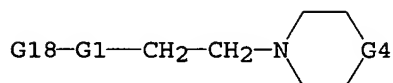
$\overset{\text{C(O)}}{\underset{119}{\text{G19}}}$

G18 = 121

$\text{p-C}_6\text{H}_4\overset{\text{G20}}{\underset{121}{\text{G20}}}$

G19 = OH (opt. substd.) / NH₂
G20 = Ph
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

MSTR 1M



G1 = carbon chain (opt. substd. by 1 or more G17)
G17 = 119

$\overset{\text{C(O)}}{\underset{119}{\text{G19}}}$

G18 = 121

$\text{p-C}_6\text{H}_4\overset{\text{G20}}{\underset{121}{\text{G20}}}$

G19 = OH (opt. substd.) / NH₂

G20 = Ph

Derivative: or pharmaceutically acceptable salts

Patent location: claim 1

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